

10/758,581

10/758,582

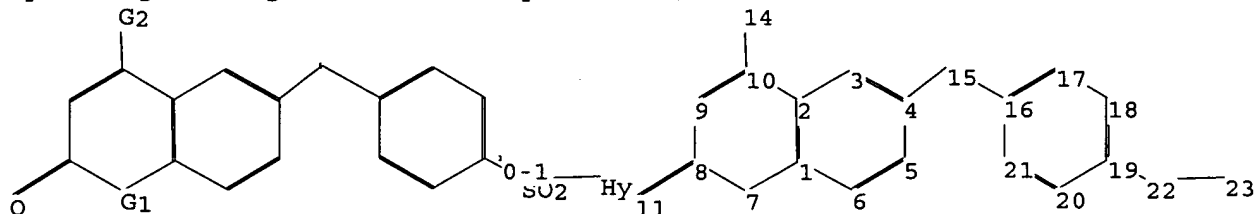
\*\*\*\*\* STN Columbus \*\*\*\*\*

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chain nodes :

11 14 15 22 23

ring nodes :

1 2 3 4 5 6 7 8 9 10 16 17 18 19 20 21

chain bonds :

4-15 8-11 10-14 15-16 19-22 22-23

ring bonds :

1-2 1-6 1-7 2-3 2-10 3-4 4-5 5-6 7-8 8-9 9-10 16-17 16-21 17-18 18-19  
19-20 20-21

exact/norm bonds :

1-7 2-10 4-15 7-8 8-9 8-11 9-10 10-14 15-16 19-22 22-23

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 16-17 16-21 17-18 18-19 19-20 20-21

isolated ring systems :

containing 1 :

G1:O,N

G2:C,H,O

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom

11:CLASS 14:CLASS 15:CLASS 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom

22:CLASS 23:Atom

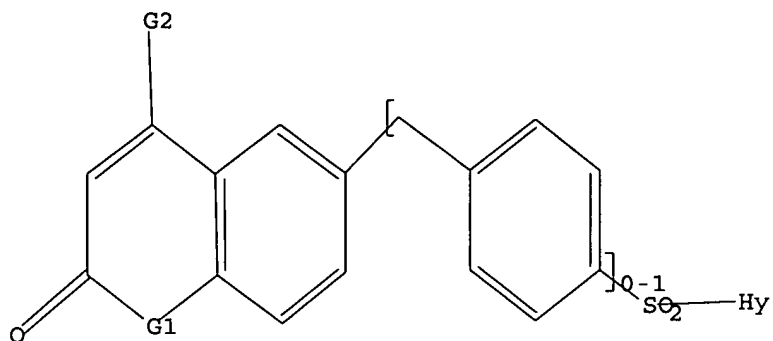
L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR

10/758,581



G1 O,N

G2 C,H,O

Structure attributes must be viewed using STN Express query preparation.

=> s l1 full

L3 655 SEA SSS FUL L1

=> file ca

COST IN U.S. DOLLARS

SINCE FILE

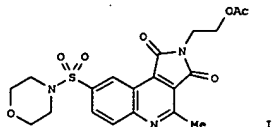
TOTAL

=> s l3

L4 38 L3

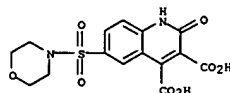
=> d ibib abs fhitstr 1-38

L4 ANSWER 1 OF 38 CA COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 143:78103 CA  
 TITLE: Synthesis and structure-activity relationship of 4-substituted 2-(2-acetyloxyethyl)-8-(morpholine-4-sulfonyl)pyrrolo[3,4-c]quinoline-1,3-diones as potent caspase-3 inhibitors  
 AUTHOR(S): Kravchenko, Dmitri V.; Kuzovkova, Yulia A.; Kysil, Volodymyr M.; Tkachenko, Sergey E.; Mallarchouk, Sergey; Okun, Ilya M.; Balakin, Konstantin V.; Ivachchenko, Alexandre V.  
 CORPORATE SOURCE: Departments of Organic Chemistry, Medicinal Chemistry,  
 and Molecular Biology and HTS, Chemical Diversity Research Institute, Khimki, Russia  
 SOURCE: Journal of Medicinal Chemistry (2005), 48(11), 3680-3683  
 CODEN: JMCMAR; ISSN: 0022-2623  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



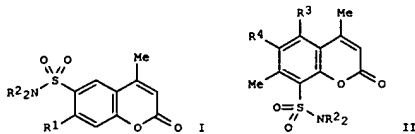
AB Synthesis, biol. evaluation, and SAR dependencies for a series of 1,3-dioxo-2,3-dihydro-1H-pyrrolo[3,4-c]quinoline inhibitors of caspase-3 are described. The inhibitory activity of the synthesized compds., e.g., I, was highly dependent on the nature of 4-substituents on the core scaffold. 4-Methyl- and 4-phenyl-substituted derivs., which were the most active compds. within this series, inhibited caspase-3 with IC50 of 23 and 27 nM, resp.  
 IT 854948-87-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation, caspase-3 binding inhibition, and structure-activity relationship of substituted acetyloxyethyl(morpholinylsulfonyl)pyrroloquinolinediones using multistep procedures)  
 RN 854948-87-1 CA  
 CN 3,4-Quinolinedicarboxylic acid, 1,2-dihydro-6-(4-morpholinylsulfonyl)-2-oxo- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)



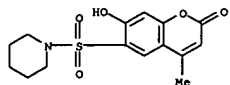
REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS  
 FORMAT RECORD. ALL CITATIONS AVAILABLE IN THE RE

L4 ANSWER 2 OF 38 CA COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 143:26457 CA  
 TITLE: Studies with polyfunctionally substituted heterocyclic compounds: a convenient synthesis of newly substituted coumarinsulfonamides as hypoglycemic agents  
 AUTHOR(S): Ayoub, Mikdad T.; Said, Sh. M.; Al-Shaheen, A. J.  
 CORPORATE SOURCE: Dep. Chem., Coll. Sci., Hashemite Univ., Zarqa, Jordan  
 SOURCE: Abhath Al-Yarmouk, Basic Sciences and Engineering (2001), 10(2A), 307English-322English  
 CODEN: AABSC; ISSN: 1023-0149  
 PUBLISHER: Yarmouk University, Deanship of Research and Graduate Studies  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 143:26457  
 GI



AB New hypoglycemic agents, coumarinsulfonamides I (R1 = HO, MeO, H2C:CHCH2O;  
 R22N = H2N, piperidino, 4-MeOC6H4CH2NH, 1-methylpiperazino, etc.) and II (R3 = Me, R4 = H; R3 = H, R4 = Me), were synthesized starting from substituted 4-methylcoumarins, which were in turn prepared by cyclocondensation of Et acetoacetate with appropriate phenols. Chlorosulfonation of the 4-methylcoumarins afforded the corresponding chlorosulfonyl derivs., which reacted with aqueous ammonia or an amine to give I and II. All compds. were characterized and some of them were investigated for hypoglycemic activity in mice and proved to be remarkably active agents.  
 IT 852672-03-8P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation of coumarinsulfonamides as hypoglycemic agents via cyclocondensation of acetoacetate with phenols, chlorosulfonation and reaction with amines)  
 RN 852672-03-8 CA  
 CN Piperidine, 1-[(7-hydroxy-4-methyl-2-oxo-2H-1-benzopyran-6-yl)sulfonyl]- (9CI) (CA INDEX NAME)

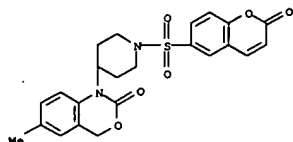
L4 ANSWER 2 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS  
 FORMAT RECORD. ALL CITATIONS AVAILABLE IN THE RE

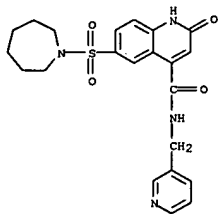


L4 ANSWER 4 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)  
 AB Title compds. I (wherein R1 - R4 = H, halo, (un)substituted alkyl, cycloalkyl, heterocyclyl, (hetero)aryl, nitro, cyano, alkoxy, ester, thioether, sulfonyl or amino; R5 = H, (un)substituted alkyl, cycloalkyl or heterocyclyl; R6 - R9 = H, (un)substituted alkyl, cycloalkyl, heterocyclyl, cyano or ester; W = (un)substituted alkyl, cycloalkyl, heterocyclyl, (hetero)aryl, amino or carbonyl; etc., and stereoisomers, racemates, salts or solvates thereof) were prepared as inhibitors of serotonin receptor 5-HT6, via reaction of 4-benzoxazinone-substituted piperidines or their salts with sulfonyl chlorides. For example, treatment of III-HCl with quinoline-8-sulfonyl chloride in the presence of DIPEA in DCM gave III in 69% yield, which showed inhibition against serotonin receptor 5-HT6 (Ki = 152 nM). Therefore, I and medicaments thereof are useful in the treatment and/or prophylaxis of disorders that are at least partially mediated via 5-HT6 receptors, such as food intake disorders.  
 IT 844685-65-0P, 6-Methyl-1-[(1-[(2-oxo-2H-chromen-6-yl)sulfonyl]piperidin-4-yl)-1,4-dihydrobenzo[d][1,3]oxazin-2-one  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug candidate; preparation of (sulfonylpiperidinyl)benzoxazinones as 5-HT6 receptor inhibitors)  
 RN 844685-65-0 CA  
 CN Piperidine, 4-[(6-methyl-2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
 FORMAT

L4 ANSWER 5 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)



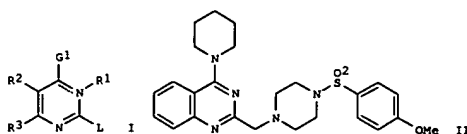
REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
 FORMAT

L4 ANSWER 5 OF 38 CA COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 142:219129 CA  
 TITLE: Parallel Liquid-Phase Synthesis of N-Substituted 6-Aminosulfonyl-2-oxo-1,2-dihydroquinoline-4-carboxamide and Derivatives  
 AUTHOR(S): Ivachtchenko, Alexandre V.; Kobak, Vladimir V.; Ilyn, Alexey P.; Khvat, Alexander V.; Kysil, Volodymir M.; Williams, Caroline T.; Kuzovkova, Julia A.; Kravchenko, Dmitry V.  
 CORPORATE SOURCE: Chemical Diversity Labs, Inc., San Diego, CA, 92121, USA  
 SOURCE: Journal of Combinatorial Chemistry (2003), 7(2), 227-235  
 CODEN: JCCHFF; ISSN: 1520-4766  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB Two efficient strategies for solution-phase parallel synthesis of libraries of quinoline derivs. are described. The first synthetic pathway features the Pfitzinger reaction of isatin with di-Et malonate and sulfochlorination of the resulting 2-oxo-1,2-dihydroquinoline-4-carboxylate followed by generation of sulfonamide library. The second strategy employs the unusual behavior of 5-sulfamoylisatins in Pfitzinger reactions, which results in formation of 6-sulfamoyl-4-carboxyquinolines instead of the anticipated 2-oxo-1,2-dihydroquinoline structures. The obtained carboxylates appeared to be convenient synthetic intermediates for the generation of the corresponding carboxamide libraries. Using these reagents, the parallel solution-phase synthesis of more than 500 substituted quinoline and 2-oxo-1,2-dihydroquinoline derivs. has been accomplished on the 50-100-mg scale. Simple manual techniques for parallel reactions using special CombiSyn synthesizers were coupled with easy purification procedures to give high-purity final products. The scope and limitations of the developed approaches are discussed.  
 IT 687590-00-7P  
 RL: CPN (Combinatorial preparation); CMBI (Combinatorial study); PREP (Preparation)  
 (parallel liquid-phase synthesis of libraries of N-substituted 6-aminosulfonyl-2-oxo-1,2-dihydroquinoline-4-carboxamide and 6-aminosulfonylquinoline-4-carboxamide derivs. involving both Pfitzinger and amidation reactions)  
 RN 687590-00-7 CA  
 CN 4-Quinolinecarboxamide, 6-[(hexahydro-1H-azepin-1-yl)sulfonyl]-1,2-dihydro-2-oxo-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 38 CA COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 142:74614 CA  
 TITLE: Preparation of pyrimidine derivatives as modulators of ATP-binding cassette transporters  
 INVENTOR(S): Makings, Lewis R.; Singh, Ashvani K.; Miller, Mark T.;  
 Hadida Ruah, Sarah S.; Grootenhuis, Peter; Hamilton, Matthew; Hazelwood, Anna R.; Huang, Liming  
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA  
 SOURCE: PCT Int. Appl., 432 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

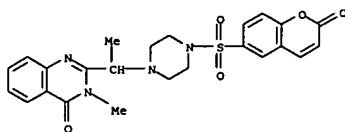
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004/11014	A1	20041223	WO 2004-US17673	20040604
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, HK, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005059687	A1	20050317	US 2004-862909	20040607
PRIORITY APPLN. INFO.:			US 2003-476698P	P 20030606
			US 2003-500132P	P 20030904
			US 2003-520181P	P 20031114
			WO 2004-US17673	A 20040604

OTHER SOURCE(S): MARPAT 142:74614  
 GI



AB The present invention relates to compds. I [G1 = O, RA, ORA, SRA, NRARB (wherein RA, RB = VRV, or NRARB = (un)substituted 3-12 membered (un)saturated

L4 ANSWER 6 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)  
monocyclic or bicyclic ring having 0-4 heteroatoms selected from N, O, or S; V = a bond, alkylidene wherein up to two methylene units of V are optionally replaced by CO, CS, COCO, etc.; RV = halo, NO2, CN, etc.); R1 = absent, YRY (Y = a bond, alkylidene wherein up to two methylene units of Y are optionally replaced by CO, O, S, etc.; RY = halo, NO2, CN, etc.); R2, R3 = TR2, or R2 and R3, taken together, form (un)substituted 5-6 membered monocyclic aryl having 0-5 heteroatoms selected from N, O, or S, 5-6 membered (un)satd. monocyclic ring having 0-3 heteroatoms selected from N, O, or S (T = a bond, alkylidene wherein up to two methylene units of T are optionally replaced by CO, CS, COCO, etc.; R2 = halo, NO2, CN, etc.); L = G2BG3Ar1 (G2, G3 = absent, alkylidene wherein up to two methylene units are optionally replaced by CO, CS, SO, etc.; B = absent, (un)substituted aryl, heteroaryl, cycloalkyl, etc.; Ar1 = absent, (un)substituted 3-8 membered (un)satd. monocyclic ring having 0-3 heteroatoms, 8-12 membered (un)satd. bicyclic ring having 0-5 heteroatoms) as modulators of ATP-Binding Cassette ("ABC") transporters or fragments thereof, including Cystic Fibrosis Transmembrane Regulator ("CFTR"), comps. thereof, and methods therewith. E.g., a multi-step synthesis of the quinazoline II, is described. The compds. I are useful as modulators of ATP binding cassette transporters (the EC50 and relative efficacy for 405 compds. I were given). The present invention also relates to methods of treating ABC transporter mediated diseases such as cystic fibrosis using the modulators I.  
IT 815591-72-1P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(Preparation of quinazolines as modulators of ATP-binding cassette transporters)  
RN 815591-72-1 CA  
CN Piperazine, 1-[(1-(3,4-dihydro-3-methyl-4-oxo-2-quinazolinyl)ethyl)-4-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)  
ACCESSION NUMBER: 142:23273 CA  
TITLE: Preparation of pyrazolyl phenyl urea derivatives as inhibitors of p38 kinase and/or tumor necrosis factor (TNF) inhibitors for the treatment of inflammations  
INVENTOR(S): Borchering, David R.; Gross, Alexandre; Shum, Wai-Kwok; Willard, Nicole; Freed, Brian S.  
PATENT ASSIGNEE(S): Aventis Pharmaceuticals Inc., USA  
SOURCE: PCT Int. Appl., 235 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

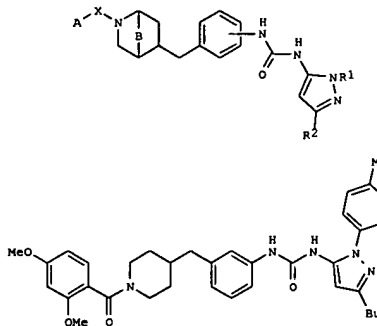
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004100946	A1	20041125	WO 2004-US13875	20040505
<p>W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW</p> <p>RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG</p>				

PRIORITY APPLN. INFO.: US 2003-468285P P 20030506

OTHER SOURCE(S): MARPAT 142:23273  
GI

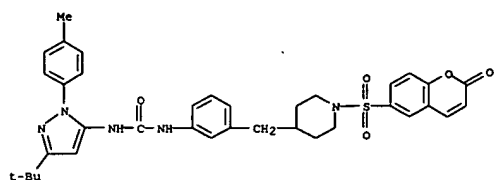
L4 ANSWER 6 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 7 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)



AB Title compds. I [wherein R1 = (cyclo)alkyl, (un)substituted aryl or pyridyl; R2 = (un)substituted (cyclo)alkyl; X = C(O), C(O)CH2, S(O)2, or NHC(O); A = (un)substituted alk(en)ynyl; B = (CH2)n; n = 0 or 2; et al., or pharmaceutically acceptable salts, solvates or ester prodrugs thereof; or ester prodrugs of such salts or solvates), useful as inhibitors of p38 kinase and/or tumor necrosis factor (TNF), were prepared Thus, condensation of 4-methylenepiperidine hydrochloride with 2,4-dimethoxybenzoyl chloride followed by addition reaction with 9-BBN and subsequent Pd-catalyzed coupling with m-bromoaniline gave an aniline derivative This compound underwent addition reaction with 5-isocyanato-3-tert-butyl-1-(4-methylphenyl)pyrazole to afford urea II. Compds. I were tested in several biol. assays. E.g., I showed 50% inhibition at the concns. of 0.3-10000 nM in the p38 cascade assay, at the concns. of 10-50000 nM in the murine p38 assay, and at the concns. of 10-50000 nM in the LPS-induced TNFα assay. Pharmaceutical compds. comprising I are useful in the treatment of disease states capable of being modulated by the inhibition of p38 kinase and/or tumor necrosis factor (TNF), such as asthma and joint inflammation.  
IT RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(inhibitor; preparation of pyrazolyl Ph urea derivs. as inhibitors of p38 kinase and/or tumor necrosis factor (TNF))  
RN 799289-95-5 CA  
CN Piperidine,  
4-[(1-[(1,3-dimethylethyl)-1-(4-methylphenyl)-1H-pyrazol-5-yl]amino)carbonyl]amino[phenyl]methyl]-1-[(2-oxo-2H-1-benzopyran-6-

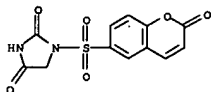
L4 ANSWER 7 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)  
yl)sulfonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

L4 ANSWER 8 OF 38 CA COPYRIGHT 2005 ACS on STN  
141:270985 CA  
ACCESSION NUMBER: 141:270985  
TITLE: Three-Dimensional Quantitative Structure-Activity Relationship Analysis of a Set of Plasmodium falciparum Dihydrofolate Reductase Inhibitors Using a Pharmacophore Generation Approach  
AUTHOR(S): Parenti, Marco Daniele; Pacchioni, Sara; Ferrari, Anna  
CORPORATE SOURCE: Dipartimento di Scienze Farmaceutiche, Università di Modena e Reggio Emilia, Modena, 41100, Italy  
SOURCE: Journal of Medicinal Chemistry (2004), 47(17), 4258-4267  
CODEN: JMCQAR; ISSN: 0022-2623  
PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
AB A 3D pharmacophore model able to quant. predict inhibition const. was derived for a series of inhibitors of Plasmodium falciparum dihydrofolate reductase (PfDHFR), a validated target for antimalarial therapy. The data set included 52 inhibitors, with 23 of these comprising the training set and 29 an external test set. The activity range, expressed as  $K_i$ , of the training set mols. was from 0.3 to 11 300 nM. The 3D pharmacophore, generated with the HypoGen module of Catalyst 4.7, consisted of two hydrogen bond donors, one pos. ionizable feature, one hydrophobic aliphatic feature, and one hydrophobic aromatic feature and provided a 3D-QSAR model with a correlation coefficient of 0.954. Importantly, the type and spatial location of the chemical features encoded in the pharmacophore were in full agreement with the key binding interactions of PfDHFR inhibitors as previously established by mol. modeling and crystallog. of enzyme-inhibitor complexes. The model was validated using several techniques, namely, Fisher's randomization test using CatScramble, leave-one-out test to ensure that the QSAR model is not strictly dependent on one particular compound of the training set, and activity prediction in an external test set of compds. In addition, the pharmacophore was able to correctly classify as active and inactive the dihydrofolate reductase and aldose reductase inhibitors extracted from the MDDR database, resp. This test was performed to challenge the predictive ability of the pharmacophore with two classes of inhibitors that target very different binding sites. Mol. diversity of the data sets was finally estimated by the Tanimoto approach. The results obtained provide confidence for the utility of the pharmacophore in the virtual screening of libraries and databases of compds. to discover novel PfDHFR inhibitors.  
IT 123089-54-3  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
using (QSAR of Plasmodium falciparum dihydrofolate reductase inhibitors

L4 ANSWER 8 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)  
pharmacophore generation approach)  
RN 123089-54-3 CA  
CN 2,4-Imidazolidinedione, 1-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]- (9CI)  
(CA INDEX NAME)



REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR  
THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

L4 ANSWER 9 OF 38 CA COPYRIGHT 2005 ACS on STN  
141:260561 CA  
ACCESSION NUMBER: 141:260561  
TITLE: A preparation of focused library of quinolinecarboxylic acid derivatives, useful as caspase enzyme inhibitors  
INVENTOR(S): Ivashchenko, Alexander Vasilievich; Kobak, Vladimir Vasilievich; Kysil, Volodymyr Mikhailovich;  
Kuzovkova, Yulia Aleksandrovna; Ilyin, Alexey Petrovich; Kravchenko, Dmitri Vladimirovich; Tkachenko, Sergey Yevgenievich; Khvat, Alexander Viktorovich; Okun, Ilya  
PATENT ASSIGNEE(S): Matusovich  
SOURCE: Chemical Diversity Research Institute, Ltd., Russia  
PCT Int. Appl., 182 pp.  
CODEN: PIKX02  
DOCUMENT TYPE: Patent  
LANGUAGE: Russian  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004078731	A1	20040916	WO 2004-RU81	20040303
W:	AE, AG, AL, AM, AN, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GR, GU, HK, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, ME, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PA, PE, PG, PH, PK, PL, PT, RO, RU, SD, SE, SG, SI, SK, SR, ST, SV, TH, TJ, TM, TR, TT, TZ, UA, UG, UZ, VC, VE, VU, WO, XG, YU, ZA, ZB, ZI, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
RU 2229475	C1	20040527	RU 2003-106182	20030306
RU 2257385	C2	20050727	RU 2003-125937	20030826
PRIORITY APPLN. INFO.:			RU 2003-106182	A 20030306
			RU 2003-124470	A 20030808
			RU 2003-125937	A 20030826

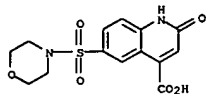
OTHER SOURCE(S): MARPAT 141:260561  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention relates to a preparation of focused library of quinolinecarboxylic acid derivs. of formulas I, II, and III (wherein: R1 is H, halogen, CF3, CN, NO2, or OH, etc.; R2 is halogen, (un)substituted alkyl, NH2, or OH; R3 is H, halogen, alk(en)yl, (un)substituted NH2 or OH; R4 is H, CO2H, or C(O)NH2; R5 is (un)substituted hydroxy- or mercapto-group, NH2, or heterocycle, etc.; R6 is H or other inert substituent; R7 is H, CN, CF3, NO2, NH2, alkylsulfonyl, or

10/758,581

L4 ANSWER 9 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)  
hydroxysulfonyl, etc.; W is O, NH, or N-alkyl, etc.), useful as caspase  
enzyme inhibitors (no biol. data). For instance, quinolinecarboxylate  
deriv. IV was prepd. via esterification of quinolinecarboxylic acid  
deriv.  
V by 2-FC6H4CH2Br with a yield of 74% (example 5).  
IT 380426-68-6P  
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU  
(Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study);  
PREP (Preparation); USES (Uses)  
(preparation of focused library of quinolinecarboxylic acid derivs.  
useful as caspase enzyme inhibitors)  
RN 380426-68-6 CA  
CN 4-Quinolinecarboxylic acid, 1,2-dihydro-6-(4-morpholinylsulfonyl)-2-oxo-  
(9CI) (CA INDEX NAME)



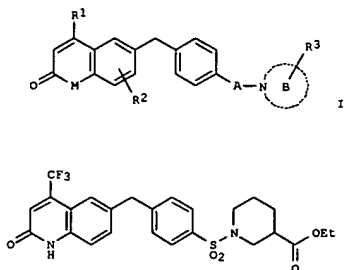
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR  
THIS  
FORMAT RECORD. ALL CITATIONS AVAILABLE IN THE RE

L4 ANSWER 10 OF 38 CA COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 141:174085 CA  
TITLE: Preparation of a new class of  
6-sulfonamido-quinolin-2-one and 6-sulfonamido-2-oxo-chromene derivatives as  
androgen receptor antagonists  
INVENTOR(S): Du, Daniel Yunlong; Fyfe, Matthew Colin Thor;  
Procter, Martin James; Schofield, Karen Lesley; Shah, Vilasben  
Kanji; Williams, Geoffrey Martyn  
PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA  
SOURCE: PCT Int. Appl., 45 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004065539	A2	20040805	WO 2004-IB117	20040108
WO 2004065539	A3	20050428		

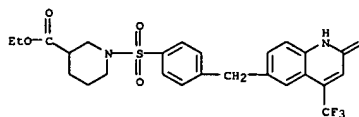
W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG,  
BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR,  
CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES,  
ES, FI, FI, GB, GB, GE, GE, GH, GH, HR, HR, HU, HU, ID, IL, IN,  
IS, JP, JP, KE, KE, KG, KG, KP, KP, KR, KR, KZ, KZ, LC, LC,  
LK, LR, LS, LS, LT, LU, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX,  
MZ, MZ, NA, NI  
US 2005085466 A1 20050421 US 2004-758581 20040115  
PRIORITY APPLN. INFO.: US 2003-441050P P 20030117  
OTHER SOURCE(S): MARPAT 141:174085  
GI

L4 ANSWER 10 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)



AB The title compds. (I; M = NZ, O; Z = H, alkyl; R1 = H, alkyl, haloalkyl,  
alkoxy, haloalkoxy; R2 = absent, halo, CN, OH, alkoxy, etc.; A = SO2; R3  
= absent, halo, OH, CN, alkoxy, etc.; B = nitrogen containing heterocyclic  
ring), useful as androgen antagonists, and to relieve conditions  
associated with inappropriate activation of the androgen receptor, were prepared  
The exemplified compds. I (such as II) were prepared by solution phase  
parallel synthesis and tested for AR antagonistic activity. In human breast  
cancer tumor cell, e.g., MDA-MB-453-MMTV clone 54-19, inhibition studies,  
65-examples of compds. I exhibited IC50 values ranging from 0.52- >10  
µM. Compds. I are claimed useful for the treatment of conditions  
associated with inappropriate activation of the androgen receptor, e.g.,  
acne, alopecia and oily skin.  
IT 733811-66-0P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)  
(preparation of 6-sulfonamido-quinolin-2-one and 6-sulfonamido-2-oxo-  
chromene derivs. as androgen receptor antagonists)  
RN 733811-66-0 CA  
CN 3-Piperidinecarboxylic acid,  
1-[[4-[[1,2-dihydro-2-oxo-4-(trifluoromethyl)-  
6-quinolinyl]methyl]phenyl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)



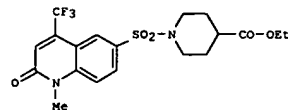
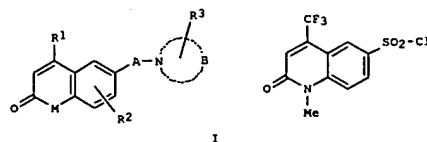


10/758,581

L4 ANSWER 11 OF 38 CA COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 141:174084 CA  
 TITLE: Preparation of 6-sulfonamido-quinolin-2-ones and related compounds as androgen receptor antagonists.  
 INVENTOR(S): Du, Daniel Yunlong; Fyfe, Matthew Colin Thor;  
 Procter,  
 Martin James; Schofield, Karen Lesley; Shah, Vilasaben  
 Kanji; Williams, Geoffrey Martyn  
 PATENT ASSIGNEE(S): Warner-Lambert Company Lic, USA  
 SOURCE: PCT Int. Appl., 43 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004065379	A1	20040805	WO 2004-1B94	20040108
W:	AE, AG, AL, AM, AN, AR, AT, AU, AV, AZ, BA, BB, BG, BR, BS, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, FR, GB, GD, GE, GR, GU, HK, HN, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LA, LC, LK, LR, LS, LU, LV, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PA, PE, PG, PH, PK, PL, PT, RU, RW, SA, SC, SD, SE, SG, SI, SK, SL, SM, SN, SR, ST, SV, SW, SY, SZ, TD, TH, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
US 2005085467	A1	20050421	US 2004-758582	20040115
PRIORITY APPL. INFO.:			US 2003-441049P	P 20030117
OTHER SOURCE(S):				
GI				

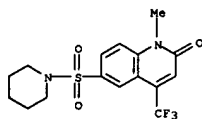
L4 ANSWER 11 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)



AB Title compds. I [M = N2, O; Z = H, alkyl; R1 = H, halo-substituted alkyl, halo-substituted alkoxy; R2 = absent, or may represent up to 2-substituents, e.g., halo, CN, OH, etc.; A = SO2; B = completes a heterocyclic ring; R3 = absent, or may represent up to 2-substituents, e.g., halo, CN, OH, etc.] and their pharmaceutically acceptable salts were prepared. For example, N-sulfonylation of Et isonipeccotatate by sulfonyl chloride II, e.g., prepared from 4-trifluoromethyl-1H-quinolin-2-one in 3-steps, afforded sulfonamidoquinolinone III. In human breast cancer tumor cell, e.g., MDA-MB-453, inhibition studies, 39-examples of compds. I exhibited IC50 values ranging from 0.16- >10 µM, the IC50 value of sulfonamidoquinolinone III was >10 µM. Compds. I are claimed useful for the treatment of conditions associated with inappropriate activation of the androgen receptor, e.g., acne, alopecia and oily skin.

IT 732300-83-3P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (Preparation of sulfonamidoquinolinones and related compds. as androgen receptor antagonists.)  
 RN 732300-83-3 CA  
 CN Piperidine, 1-[[1,2-dihydro-1-methyl-2-oxo-4-(trifluoromethyl)-6-quinolinyl]sulfonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)

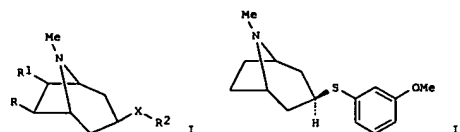


REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L4 ANSWER 12 OF 38 CA COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 139:149805 CA  
 TITLE: Preparation of thio-bridged aryl substituted azabicyclic derivatives for use in pharmaceutical compositions as modulators of acetylcholine receptors  
 INVENTOR(S): Astles, Peter Charles; Baker, Stephen Richard;  
 Keenan,  
 Martine; Vernier, Jean Michel; Sanderson, Adam Jan;  
 Antonio; Cube, Rowena Villanueva; Martinez-Perez, Jose  
 Mateo Herranz, Ana Isabel; Dell, Colin Peter;  
 Gutierrez, Sonia; Prieto, Lourdes; Smith, Colin William  
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA  
 SOURCE: PCT Int. Appl., 161 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

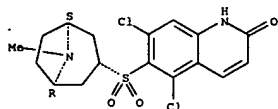
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003062235	A1	20030731	WO 2002-US21296	20020729
W:	AE, AG, AL, AM, AN, AR, AT, AU, AV, AZ, BA, BB, BG, BR, BS, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GR, GU, HK, HN, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PA, PE, PG, PH, PK, PL, PT, RU, RW, SA, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GN, GO, GW, ML, MR, NE, SN, TD, TG			
EP 1472248	A1	20041103	EP 2002-756388	20020729
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK			
US 2005182088	A1	20050818	US 2003-500516	20020729
PRIORITY APPL. INFO.:			US 2002-350152P	P 20020117
			WO 2002-US21296	W 20020729

OTHER SOURCE(S): MARPAT 139:149805  
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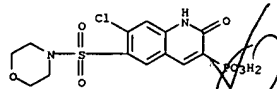
L4 ANSWER 12 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)  
 AB Arylthio substituted azabicyclic compds., such as I (R = R1 = H; R1 = bond; R2 = aryl, heteroaryl; X = S, SO2), were prepared for therapeutic uses that require modulation of neurotransmission by promoting the release of neurotransmitters such as acetylcholine, dopamine and norepinephrine and are useful for the treatment of disorders of the central and autonomic nervous systems. More particularly, the present invention relates to thio-bridged aryl compds. that are capable of modulating acetylcholine receptors and pharmaceutical compns. comprising such compds. Thus, exo-3-(3-methoxyphenylthio)-8-methyl-8-azabicyclo[3.2.1]octane (II) was prepared with 41% yield by a stereoselective substitution reaction of 3-methoxybenzenethiol with endo-8-methyl-8-azabicyclo[3.2.1]oct-3-yl methanesulfonate using NaH in THF. Effects of the prepared azabicyclics on nicotine receptor B4 subtypes were determined using a functional Ca-flux assay.  
 IT 569340-35-8P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of arylthio-azabicyclic derivs. for use in pharmaceutical compns. as modulators of acetylcholine receptors)  
 RN 569340-35-8 CA  
 CN 2(1H)-Quinolinone, 5,7-dichloro-6-[(3-exo)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl)sulfonyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



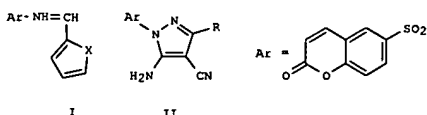
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
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L4 ANSWER 13 OF 38 CA COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 138:395409 CA  
 TITLE: Novel quinolinone-phosphonic acid AMPA antagonists devoid of nephrotoxicity  
 AUTHOR(S): Cordi, Alex A.; Desos, Patrice; Ruano, Elisabeth; Al-Badri, Hashim; Fugier, Claude; Chapman, Astrid G.; Meldrum, Brian S.; Thomas, Jean-Yves; Roger, Anita; Lestage, Pierre  
 CORPORATE SOURCE: Institut de Recherches Servier, Suresnes, F-92150, Fr.  
 SOURCE: Farmaco (2002) 57(10), 787-802  
 CODEN: FRMCE8; ISSN: 0014-827X  
 PUBLISHER: Editions Scientifiques et Medicales Elsevier  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 138:395409  
 AB We reported previously the synthesis and structure-activity relationships (SAR) in a series of 2-(1H)-oxoquinolines bearing different acidic functions in the 3-position. Exploiting these SAR, we were able to identify 6,7-dichloro-2-(1H)-oxoquinoline-3-phosphonic acid compound (S17625) as a potent, in vivo active AMPA antagonist. Unfortunately, during the course of the development, nephrotoxicity was manifest at therapeutically EDs. Considering that some similitude exists between S17625 and probenecid, a compound known to protect against the nephrotoxicity and/or slow the clearance of different drugs, we decided to synthesize some new analogs of S 17625 incorporating some of the salient features of probenecid. Replacement of the chlorine in position 6 by a sulfonylamine led to very potent AMPA antagonists endowed with good in vivo activity and lacking nephrotoxicity potential. Amongst the compds. evaluated, some of derivs. appear to be the most promising and are currently evaluated in therapeutically relevant stroke models.  
 IT 355822-97-8P  
 RL: PAC (Pharmacological activity); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (novel quinolinone-phosphonic acid AMPA antagonists devoid of nephrotoxicity)  
 RN 355822-97-8 CA  
 CN Phosphonic acid, [7-chloro-1,2-dihydro-6-(4-morpholinyl)sulfonyl]-2-oxo-3-quinoliny]- (9CI) (CA INDEX NAME)

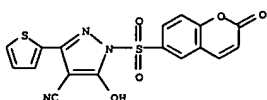


REFERENCE COUNT: 49 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
 FORMAT

L4 ANSWER 14 OF 38 CA COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 137:294847 CA  
 TITLE: Reactions with coumarin. VI  
 AUTHOR(S): Ismail, I. Imam; El-Bary, H. Abd; El-Aleem, A. H. Abd; Hossni, A.  
 CORPORATE SOURCE: National Research Centre, Cairo, Egypt  
 SOURCE: Afinidad (2002), 59(498), 151-154  
 CODEN: AFINAE; ISSN: 0001-9704  
 PUBLISHER: Asociacion de Quimicos del Instituto Quimico de Sarria  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 137:294847  
 GI



AB The present investigation is designed to study the reaction of some active methylene compds. with coumarin-6-sulfonyl hydrazones, I (X = O, S). The following active methylene compds. were used: malononitrile, Et cyanoacetate, di-Et malonate and 2,4-pentanedione. It was found that, the active methylene compound is added to the double bond of the hydrazone to give an adduct, which cyclized directly to pyrazole or pyrazoline-5-one derivs., e.g. II.  
 IT 467465-91-4P  
 RL: SPN (Synthetic preparation); PREP (Preparation) (preparation via cyclization reaction of coumarin sulfonyl hydrazone with Et cyanoacetate)  
 RN 467465-91-4 CA  
 CN 1H-Pyrazole-4-carbonitrile, 5-hydroxy-1-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]-3-(2-thienyl)- (9CI) (CA INDEX NAME)

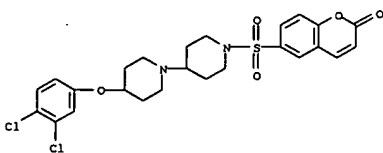


REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

L4 ANSWER 15 OF 38 CA COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 135:318419 CA  
 TITLE: Synthesis of substituted bipiperidines and their use  
 as H1 antagonists  
 INVENTOR(S): Lawrence, Louise; Rigby, Aaron; Sanganee, Hitesh;  
 Springthorpe, Brian  
 PATENT ASSIGNEE(S): AstraZeneca AB, Swed.  
 SOURCE: PCT Int. Appl., 160 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

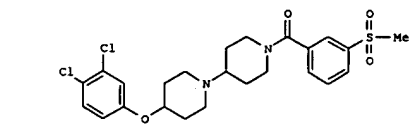
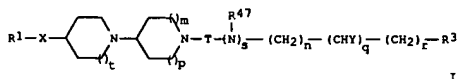
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001077101	A1	20011018	WO 2001-SE751	20010405
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CH, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2403012	A1	20011018	CA 2001-2403012	20010405
EP 1274701	A1	20030115	EP 2001-920053	20010405
EP 1274701	B1	20050629		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
BR 2001009922	A	20030218	BR 2001-9922	20010405
JP 2003530393	T2	20030104	JP 2001-575574	20010405
NZ 521543	A	20041029	NZ 2001-521543	20010405
EP 1493743	A1	20050105	EP 2004-20599	20010405
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, CY, TR			
AT 298748	E	20050715	AT 2001-920053	20010405
US 2002077337	A1	20020620	US 2001-827488	20010406
US 6525070	B2	20030225		
ZA 2002007700	A	20040102	ZA 2002-7700	20020925
NO 200204774	A	20021129	NO 2002-4774	20021003
US 200406080	A1	20040108	US 2003-341027	20030113
US 6903115	B2	20050607		
US 2004014783	A1	20040122	US 2003-436582	20030513
US 2005171092	A1	20050804	US 2005-76773	20050310
PRIORITY APPLN. INFO.:			GB 2000-8626	A 20000408
			GB 2000-19111	A 20000803
			SE 2000-3664	A 20001011
			EP 2001-920053	A3 20010405
			WO 2001-SE751	W 20010405

L4 ANSWER 15 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)  
 BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug; synthesis of substituted bipiperidines and use as H1  
 antagonists)  
 RN 367495-00-9 CA  
 CN 1,4'-Bipiperidine, 4-(3,4-dichlorophenoxy)-1'-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR  
 THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
 FORMAT

L4 ANSWER 15 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)  
 US 2001-827488 A3 20010406  
 US 2003-341027 A1 20030113  
 US 2003-436582 A3 20030513  
 OTHER SOURCE(S): MARPAT 135:318419  
 GI

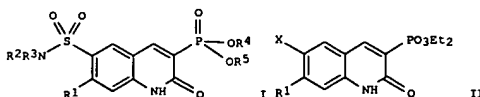


AB Title compds. I [q, s, t = 0 - 1; n, r = 0 - 5; m, p = 0 - 2; X = CH, C(O), O, S, S(O), S(O), N-; provided that when m and p are both 1 then X is not CH; Y = NHR2, OH; T = C(O), C(S), S(O), CH2; R1 = H, alkyl, aryl, heterocyclyl; R2, R47 = H, alkyl, aryl-alkyl, Co-alkyl; R3 = alkyl, alkenyl, cycloalkyl, cycloalkenyl, aryl, heterocyclyl, thioaryl, thioheterocyclyl] were prepared. Examples include: data for over 600 compds., 4 solid oral dosage and 1 parenteral (general) formulations, a bioassay for Ca2+ flux, human eosinophil chemotaxis and H1 antagonism. E.g., 4-(3,4-dichlorophenoxy)piperidine was alkylated with 1-(tert-butoxycarbonyl)-4-piperidone (1,2-dichloroethane, NaBH(OAc)3, 18 h, room temperature) to give an intermediate [1,4']bipiperidine. This intermediate was deprotected (DCM, TFA, 4 h, room temperature) and the resulting bipiperidine condensed with 3-methanesulfonylbenzoic acid (THF, PYBROP, (i-Pr)2NET, 18 h, room temperature) to give example compound II isolated as the acetate salt. I are used in the treatment of a chemokine (such as CCR3) or H1 mediated disease state.  
 IT 367495-00-99  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

L4 ANSWER 16 OF 38 CA COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 135:180874 CA  
 TITLE: 6-Aminosulfonyl or 6-hydrazinosulfonyl-3-quinolinylphosphonic acid derivatives, method of preparation, pharmaceutical compositions containing them and use as inhibitors of AMPA receptors.  
 Cordi, Alex; Desos, Patrice; Lestage, Pierre  
 Adir Et Compagnie, Fr.; Les Laboratoires Servier  
 Eur. Pat. Appl., 26 pp.  
 CODEN: EPXKDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1125942	A1	20010822	EP 2001-400409	20010216
EP 1125942	B1	20030409		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
FR 2805261	A1	20010824	FR 2000-2012	20000218
JP 2001270890	A2	20011002	JP 2001-36254	20010214
US 2001031746	A1	20011018	US 2001-784632	20010215
US 6486143	B2	20021126		
CA 2337785	AA	20010818	CA 2001-2337785	20010216
NO 2001000801	A	20010820	NO 2001-801	20010216
ZA 2001001340	A	20010822	ZA 2001-1340	20010216
BR 2001000601	A	20010918	BR 2001-601	20010216
CN 1320601	A	20011107	CN 2001-116286	20010216
NZ 510000	A	20011221	NZ 2001-510000	20010216
AT 236912	E	20030415	AT 2001-400409	20010216
PRIORITY APPLN. INFO.:			FR 2000-2012	A 20000218

OTHER SOURCE(S): CASREACT 135:180874; MARPAT 135:180874  
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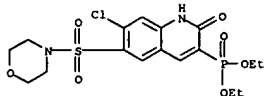


AB Compds. of formula I (e.g. 7-chloro-2-oxo-6-[(propylamino)sulfonyl]-1,2-dihydro-3-quinolinylphosphonic acid di-Et ester); methods of preparation, pharmaceutical compns. and pharmacol. effectiveness are claimed. In I,  
 R1 = halogen, CF3; R2 = H, alkyl, cycloalkyl; R3 = alkyl, cycloalkyl, aryl, arylalkyl, alkoxy, aryloxy, arylalkoxy, hydroxy, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, alkylcarbonyl, arylcarbonyl, arylalkylcarbonyl, or NHR6 (R6 = H, alkyl, cycloalkyl, aryl, alkylcarbonyl, arylcarbonyl, arylalkyl or arylalkylcarbonyl), or NR2R3 form a C5-6 ring in which one of the C atoms may be replaced by O, N, S, SO, SO2, or NRa (Ra = H, alkyl, cycloalkyl, aryl or arylalkyl). R4 and R5, identical or different, = H, alkyl, cycloalkyl, aryl, arylalkyl or

L4 ANSWER 16 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)  
 -CHR7-O-C(O)-R8 (R7 and R8, identical or different, = H, alkyl, cycloalkyl or aryl). Alkyl = C1-6 linear or branched alkyl; alkoxy = C1-6 linear or branched alkoxy; cycloalkyl = cyclic C3-8 alkyl; aryl = Ph or naphthyl, which may be unsubstituted or substituted by 1-3 groups chosen from alkyl, cycloalkyl, hydroxy, alkoxy, amino, alkylamino, dialkylamino, cyano, nitro, polyhaloalkyl, SO2NR9R10 (R9 and R10, identical or different, = H, alkyl, cycloalkyl or aryl), or halogen atoms. Also claimed are enantiomers and diastereomers as well as salts from addn. to a pharmaceutically acceptable base. The prepn. comprises: condensation of 4-R1-2-NH2C6H3CHO with ClC(O)CH2PO3Et2 in the presence of base to give 4-R1-2-(Et2O3PCH2C(O)NH)C6H3CHO, which is cyclized in the presence of a catalytic amt. of piperidine to give II (X = H), which is nitrated to give II (X = O2N), which is reduced to give II (X = H2N), which is reacted with HNO2 and HBF4 to give the diazonium salt, which is reacted with SO2 in the presence of CuCl2 to give II (X = ClSO2), which is combined with HNR2R3 to give II (X = R2R3NSO2), which is partially or totally deprotected by BrSiMe3 in MeCN to give the monoester or phosphinic acid form of I, which may be condensed with R''-Cl (R'' = alkyl, aryl, arylalkyl, R8C(O)OCHR7-) to give I (OR'' in place of OR4). I are inhibitors of AMPA receptors and are claimed to be effective against, for example, cerebral vascular accidents, cerebral or spinal traumatism, epilepsy, and chronic neurodegenerative diseases such as Alzheimer's disease, schizophrenia, amyotrophic lateral sclerosis or Huntington chorea. Semiquant. data are given for nephrotoxicity, and inhibition of audiogenic convulsions and AMPA receptors.

IT 355022-94-SP  
 RI: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (6-aminosulfonyl or 6-hydrazinosulfonyl-3-quinolinyl)phosphonic acid derivs., method of preparation, pharmaceutical compns. containing them and use as inhibitors of AMPA receptors)

RN 355022-94-5 CA  
 CN Phosphonic acid, (7-chloro-1,2-dihydro-6-(4-morpholinylsulfonyl)-2-oxo-3-quinolinyl)-, diethyl ester (9CI) (CA INDEX NAME)



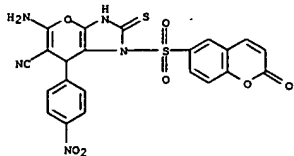
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
 FORMAT

L4 ANSWER 17 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)  
 ACCESSION NUMBER: 134:178501 CA  
 TITLE: Synthesis of N-(Coumarinsulfonyl)thiohydantoin and -hydantoin derivatives  
 AUTHOR(S): Mandour, A. H.; Kassem, E. M.  
 CORPORATE SOURCE: Dep. of Nat. Products and Microbes, Natl. Res. Cent., Cairo, Egypt  
 SOURCE: Afinidad (2000), 57(489), 344-348  
 CODEN: AFINAE; ISSN: 0001-9704  
 PUBLISHER: Asociacion de Quimicos del Instituto Quimico de Sarrria  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 134:178501

AB Acylation of glycine with 6-coumarinsulfonyl chloride or (6-nitro-3-coumarinyl)sulfonyl chloride gave N-[(coumarinyl)sulfonyl]glycine derivs. Treatment of the latter compds. with ammonium thiocyanate and acetic anhydride afforded N-[(coumarinyl)sulfonyl]-3-thiohydantoins. The key intermediates thus prepared were 1-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]-2-thioxo-4-imidazolidinone and 1-[(6-nitro-2-oxo-2H-1-benzopyran-3-yl)sulfonyl]-2-thioxo-4-imidazolidinone. Hydrolysis of these intermediates using aqueous chloroacetic acid gave N-[(coumarinyl)sulfonyl]hydantoins. Thus, the above (thioxo)imidazolidinones were transformed into the resp. diones, 1-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]-2,4-imidazolidinedione and 1-[(6-nitro-2-oxo-2H-1-benzopyran-3-yl)sulfonyl]-2,4-imidazolidinedione. Condensation of N-[(coumarinyl)sulfonyl]-3-thiohydantoins and N-[(coumarinyl)sulfonyl]-3-hydantoins with (arylidene)malononitrile in piperidine gave the corresponding pyrano[2,3-d]imidazolidines. Also, the condensation of the above intermediates with aromatic aldehyde led to the formation of 5(arylidene)thiohydantoins and 5-(arylidene)hydantoins. The condensation of the latter compds. with malononitrile was also carried out.

IT 326809-22-7P  
 RI: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 326809-22-7 CA  
 CN Pyrano[2,3-d]imidazole-6-carbonitrile, 5-amino-1,2,3,7-tetrahydro-7-(4-nitrophenyl)-1-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]-2-thioxo- (9CI)  
 (CA INDEX NAME)



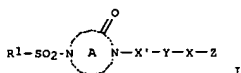
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
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10/758,581

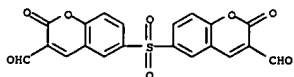
L4 ANSWER 18 OF 38 CA COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 131:170361 CA  
 TITLE: Preparation of sulfonamides as inhibitors of  
 activated blood coagulation factor X  
 INVENTOR(S): Tawada, Hiroyuki; Itoh, Fumio; Banno, Hiroshi;  
 Terashita, Zenichi  
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
 SOURCE: PCT Int. Appl., 187 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9940075	A1	19990812	WO 1999-JP470	19990204
W:	AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2317017	AA	19990812	CA 1999-2317017	19990204
AU 9922988	A1	19990823	AU 1999-22988	19990204
JP 2000204081	A2	20000725	JP 1999-27053	19990204
EP 1054005	A1	20001122	EP 1999-902829	19990204
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			
US 6403595	B1	20020611	US 2000-601660	20000803
US 2002193382	A1	20021219	US 2002-128809	20020424
US 6680312	B2	20040120		
PRIORITY APPLN. INFO.:			JP 1998-24833	A 19980205
			JP 1998-317205	A 19981109
			WO 1999-JP470	W 19990204
			US 2000-601660	A3 20000803

OTHER SOURCE(S): MARPAT 131:170361  
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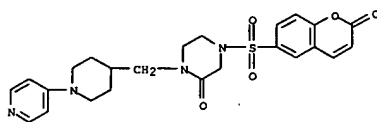


L4 ANSWER 19 OF 38 CA COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 129:260316 CA  
 TITLE: Synthesis of some 6,6'-methylene- and 6,6'-sulfone-biscoumarins  
 AUTHOR(S): Brahmabhatt, D. I.; Jayabalan, L.; Hirani, B. R.; Singh, Shashibala  
 CORPORATE SOURCE: Department of Chemistry, Sardar Patel University, Vallabh Vidyanagar, 388 120, India  
 SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1998), 37B(7), 683-685  
 CODEN: IJSBDB; ISSN: 0376-4699  
 PUBLISHER: National Institute of Science Communication, CSIR  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB Various 3,3'-disubstituted-6,6'-methylenebiscoumarins, 3,3'-disubstituted-8,8'-dimethoxy-6,6'-methylenebiscoumarins and 3,3'-disubstituted-6,6'-sulfone-biscoumarins were synthesized by the reaction of appropriate bisalicylaldehydes with (carboethoxyalkylidene)triphenylphosphoranes under Wittig conditions.  
 IT 213541-57-2  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (for preparation of 6,6'-methylene- and 6,6'-sulfone-biscoumarins)  
 RN 213541-57-2 CA  
 CN 2H-1-Benzopyran-3-carboxaldehyde, 6,6'-sulfonylbis[2-oxo- (9CI) (CA INDEX NAME)]



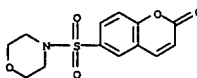
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE  
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L4 ANSWER 18 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)  
 AB The title compds. I (R1 represents a hydrocarbyl or heterocyclic group each optionally substituted; the ring A represents a divalent nitrogen-containing heterocycle group optionally further substituted; X' represents optionally substituted alkylene; Y represents an optionally substituted divalent cyclic group; X represents a bond or optionally substituted alkylene; and Z represents optionally substituted amino, optionally substituted imidoyl, or an optionally substituted nitrogen-containing heterocyclic group) are prepared Formulations containing a compound of this invention are given. In a test for inhibiting activity of title compds. against activated blood coagulation factor X, 1-(4-amidinobenzyl)-4-(6-chloronaphthalene-2-sulfonyl)-2-piperazinone hydrochloride showed IC50 of 0.05 µM.  
 IT 239072-37-8P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of sulfonamides as inhibitors of activated blood coagulation factor X)  
 RN 239072-37-8 CA  
 CN Piperazinone, 4-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]-1-[[1-(4-pyridinyl)-4-piperidinyl)methyl]- (9CI) (CA INDEX NAME)



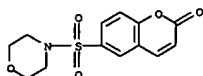
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS  
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L4 ANSWER 20 OF 38 CA COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 128:321534 CA  
 TITLE: Reactions with coumarin: synthesis and reactions of coumarin sulfonamides  
 AUTHOR(S): Abdel-Bary, Hamed M.  
 CORPORATE SOURCE: Chem. Dep., Faculty Science, Menoufia Univ., Menoufia, Egypt  
 SOURCE: Afinidad (1998), 55(473), 67-71  
 CODEN: AFINAE; ISSN: 0001-9704  
 PUBLISHER: Asociacion de Quimicos del Instituto Quimico de Sarria  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB Coumarin-6-sulfonyl chloride was animated with different secondary amines to give the sulfonamides. Treatment of these with hydrazine under controlled conditions effected ring-opening of the lactone ring to afford the corresponding o-hydroxycinnamoyl hydrazides which were converted to hydrazones by reaction with various aldehydes. The hydrazones were cyclized using acetic anhydride to yield oxadiazolines. Reaction of the hydrazides with 4-toluoyl chloride afforded the corresponding N-toluoyl derivs. which cyclized with POCl3 to the corresponding 1,3,4-oxadiazole derivs. Thiosemicarbazide derivs. were obtained by treatment of the hydrazides with PhNCS. Cyclization of the thiosemicarbazides using POCl3 afforded the corresponding 1,3,4-thiadiazoles.  
 IT 84015-83-8P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and reactions of coumarinsulfonamides)  
 RN 84015-83-8 CA  
 CN Morpholine, 4-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]- (9CI) (CA INDEX NAME)

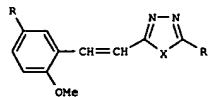


REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS  
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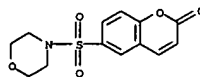
L4 ANSWER 21 OF 38 CA COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 127:176015 CA  
 TITLE: Reactions with coumarin: synthesis and reactions of coumarinsulfonamides  
 AUTHOR(S): Abdel-Bary, Hamed M.  
 CORPORATE SOURCE: Chemistry Department, Faculty of Science, Menoufia University, Menoufia, Egypt  
 SOURCE: Mansoura Science Bulletin, A: Chemistry (1997), 24(1, Suppl. 1), 161-170  
 CODEN: MSBCF4; ISSN: 1110-4562  
 PUBLISHER: Mansoura University  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB Coumarin-6-sulfonyl chloride was amidated with different secondary amines to give coumarin-6-sulfonamides. The latter with hydrazine under controlled conditions effected ring-opening of the lactone ring to afford the corresponding o-hydroxycinnamoyl hydrazides. Hydrazones were obtained by condensation of the latter with aldehydes. Some reactions of the hydrazones or hydrazides were examined  
 IT 84015-83-8P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and reactions of coumarinsulfonamides)  
 RN 84015-83-8 CA  
 CN Morpholine, 4-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 22 OF 38 CA COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 126:251111 CA  
 TITLE: Synthesis and biological evaluation of 1,2,4-triazoles, 1,3,4-oxadiazoles, and 1,3,4-thiadiazoles  
 AUTHOR(S): Mandour, A. H.; Ahmed, Kh. M.; Mohamed, T. K.; El-Bazza, Z. E.  
 CORPORATE SOURCE: National Res. Centre, Cairo, Egypt  
 SOURCE: Egyptian Journal of Pharmaceutical Sciences (1996), 37(1-6), 71-84  
 CODEN: EJPSB2; ISSN: 0301-5068  
 PUBLISHER: National Information and Documentation Centre  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI

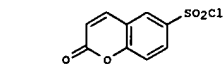
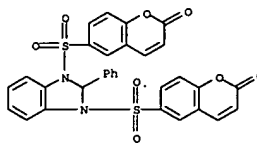


AB Alkaline hydrolysis, with di-Me sulfate and potassium hydroxide, of 6-substituted coumarins yielded 2-methoxycinnamic acids, which were converted to acid chlorides and then to (2-methoxycinnamoyl)thiosemicarbazides. Cyclization of the thiosemicarbazides, using sodium hydroxide, yielded triazoles I (R = NO<sub>2</sub>, Et<sub>2</sub>NSO<sub>2</sub>, piperidinosulfonyl, morphinosulfonyl; R<sub>1</sub> = SH; X = NH). Cyclodehydration of the thiosemicarbazides, using orthophosphoric acid or dicyclohexylcarbodiimide, led to thiadiazoles and oxadiazoles (I; same R; R<sub>1</sub> = NH<sub>2</sub>; X = S, O). The antimicrobial and antiaflatoxigenic activities of I were evaluated.  
 IT 84015-83-8  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (hydrolysis-methylation of)  
 RN 84015-83-8 CA  
 CN Morpholine, 4-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]- (9CI) (CA INDEX NAME)

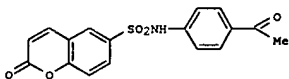


L4 ANSWER 23 OF 38 CA COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 124:175761 CA  
 TITLE: Reaction with coumarin. IV  
 AUTHOR(S): Abdel Bary, Hamed M.; Abdel Aleem, A. H.; Ismail, I. Imam  
 CORPORATE SOURCE: Menoufia University, Cairo, Egypt  
 SOURCE: Afinidad (1995), 52(459), 344-5  
 CODEN: AFINAE; ISSN: 0001-9704  
 PUBLISHER: Asociacion de Quimicos del Instituto Quimico de Sarria  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI

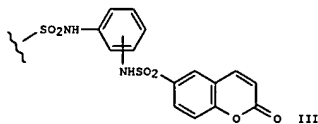
L4 ANSWER 23 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)



I



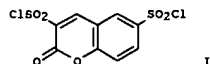
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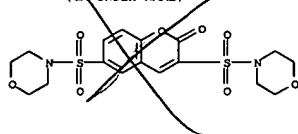
III

AB Coumarin-6-sulfonyl chloride (I) reacts with 4-aminobenzenesulfonamide or 2-amino-1,3,4-thiadiazole-5-sulfonamide at the sulfonamido amino group, leaving the amino group attached to the ring unreacted. Reaction of I with 4-aminoacetophenone, or with o-, m-, or p-phenylenediamine, gives corresponding mono- and bis-sulfonamides II or III, resp. II reacts with hydrazine hydrate or phenylhydrazine to yield hydrazones. Ortho-III is cyclized with aldehydes to give benzimidazole derivs.  
 IT 173975-94-5P, 1,3-Bis(6-coumarinylsulfonyl)-2-phenyl-2,3-dihydrobenzimidazole  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (final product; reactions of coumarinsulfonyl chloride with amines and sulfonamides, and derived products)  
 RN 173975-94-5 CA  
 CN 1H-Benzimidazole, 2,3-dihydro-1,3-bis[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]-2-phenyl- (9CI) (CA INDEX NAME)

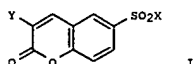
L4 ANSWER 24 OF 38 CA COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 123:55653 CA  
 TITLE: Reactions with coumarin-3,6-disulfonyl chloride  
 AUTHOR(S): Abd El-Aleem, Abd El-Aleem Hassan  
 CORPORATE SOURCE: Fac. Sci., Menoufia Univ., Egypt  
 SOURCE: Modelling, Measurement & Control, C: Energetics, Chemistry, Earth, Environmental & Biomedical Problems (1995), 47(1), 49-54  
 CODEN: MNCPEB; ISSN: 1259-5977  
 PUBLISHER: Association for the Advancement of Modelling and Simulation Techniques in Enterprises  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



AB The reaction between coumarin-3,6-disulfonyl chloride (I) and amino compds. is investigated. The acid chloride reacts with aliphatic amines such as Et amine, ethanolamine, ethylenediamine or benzylamine to give the corresponding coumarin-6-sulfonamide derivs. While its reaction with secondary amines, aromatic amines or acid hydrazide gives the corresponding coumarin-3,6-disulfonamides. The reaction with hydrazine hydrate gives coumarin-6-sulfonylhydrazide or coumarin-3,6-disulfonylhydrazide, depends on the reaction conditions.  
 IT 164471-06-1P  
 RL: SPN (Synthetic preparation); PREP (Preparation) (reactions of coumarindisulfonyl chloride)  
 RN 164471-06-1 CA  
 CN MOPholine, 4,4'-[(2-oxo-2H-1-benzopyran-3,6-diyl)bis(sulfonyl)]bis- (9CI) (CA INDEX NAME)

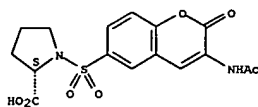


L4 ANSWER 26 OF 38 CA COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 122:31870 CA  
 TITLE: Synthesis and studies of some new 3-substituted coumarin derivatives  
 AUTHOR(S): Ibrahim, Tarek M.; Ahmed, Fayek S. M.; Shedin, Said A.  
 CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Nasr, Egypt  
 SOURCE: Phosphorus, Sulfur and Silicon and the Related Elements (1994), 86(1-4), 263-8  
 CODEN: PSSLEC; ISSN: 1042-6507  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI

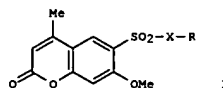


AB The preparation of different 3-acetamido-coumarin-6-sulfonylamino acids I (X = amino acid, dipeptide group; Y = NHCOMe, NH2, OH) was described. All the 3-amino or 3-hydroxycoumarin-6-sulfonylamino acid derivs. I (Y = NH2; X = amino acid group) and I (Y = OH; X = amino acid group) possess remarkable antimicrobial properties towards different microorganisms; the other I were inactive.  
 IT 156773-47-6P  
 RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as antimicrobial agent)  
 RN 156773-47-6 CA  
 CN L-Proline, 1-[(3-(acetylamino)-2-oxo-2H-1-benzopyran-6-yl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

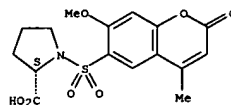


L4 ANSWER 25 OF 38 CA COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 122:161309 CA  
 TITLE: Synthesis and antimicrobial activity of some new 7-methoxy-4-methylcoumarin-6-sulfonylamino acid derivatives  
 AUTHOR(S): Ibrahim, T M.; Ahmed, F S M.; Shedin, S A.  
 CORPORATE SOURCE: Faculty Science, Al-Azhar University, Nasr, Egypt  
 SOURCE: Proceedings of the Indian National Science Academy, Part A: Physical Sciences (1994), 60(2), 433-9  
 CODEN: PIPSD; ISSN: 0370-0046  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI

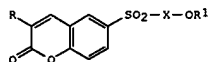


AB Title compds. I (X = amino acid, dipeptide; R = OH, OMe, MNH2) were prepared from the sulfonyl chloride and amino acid, amino ester, or dipeptide. The amino acid deriva., but not the peptide deriva., have bactericidal activity.  
 IT 161255-86-3P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (preparation and antimicrobial activity of some new methoxy(methyl)coumarinsulfonylamino acid derivs.)  
 RN 161255-86-3 CA  
 CN L-Proline, 1-[(7-methoxy-4-methyl-2-oxo-2H-1-benzopyran-6-yl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

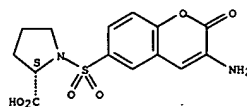


L4 ANSWER 27 OF 38 CA COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 121:109633 CA  
 TITLE: Synthesis and studies of some new 3-substituted coumarin derivatives  
 AUTHOR(S): Ibrahim, Tarek M.; Ahmed, Fayek S. M.; Shedin, Said A.  
 CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Nasr, Egypt  
 SOURCE: Sulfur Letters (1994), 17(2), 101-9  
 CODEN: SULED2; ISSN: 0278-6117  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI

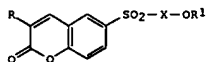


AB The synthesis of different 3-acetamidocoumarin-6-sulfonylamino acids I (R = AcNH, X = β-Ala, Pro, Leu, Met, Phe, R1 = H), the corresponding Me esters I (R1 = Me), dipeptides I (R = AcNH, X = β-Ala-Gly, Pro-Ser, Phe-Val, Leu-Tyr, Met-Phe, R1 = Me), and some related 3-amino- or 3-hydroxy derivs. I (R = H2N, HO) are described. All derivs. I (R = H2N, HO) possess remarkable antimicrobial properties towards different microorganisms.  
 IT 156773-61-4P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation and bactericidal activity of)  
 RN 156773-61-4 CA  
 CN L-Proline, 1-[(3-amino-2-oxo-2H-1-benzopyran-6-yl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



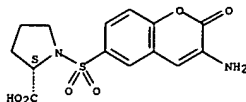
L4 ANSWER 28 OF 38 CA COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 121:109623 CA  
 TITLE: Synthesis and studies of some new 3-substituted coumarin derivatives  
 AUTHOR(S): Ibrahim, Tarek M.; Ahmed, Fayek S. M.; Sheded, Said A.  
 CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Nasr, Egypt  
 SOURCE: Boletín de la Sociedad Química del Perú (1993), 59(3), 135-41  
 CODEN: BSQPAQ; ISSN: 0037-8623  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



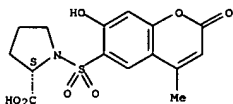
AB The synthesis of different 3-acetamidocoumarin-6-sulfonylamino acids I (R = AcNH, X =  $\beta$ -Ala, Pro, Leu, Met, Phe, R1 = H), the corresponding Me esters I (R1 = Me), dipeptides I (R = AcNH, X =  $\beta$ -Ala-Gly, Pro-Ser, Phe-Val, Leu-Tyr, Met-Phe, R1 = Me), and some related 3-amino- or 3-hydroxy derivs. I (R = H2N, HO) are described. All derivs. I (R = H2N, HO) possess remarkable antimicrobial properties towards different microorganisms.

IT 156773-61-6P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation and bactericidal activity of)  
 RN 156773-61-4 CA  
 CN L-Proline, 1-[(3-amino-2-oxo-2H-1-benzopyran-6-yl)sulfonyl]- (9CI) (CA INDEX NAME)

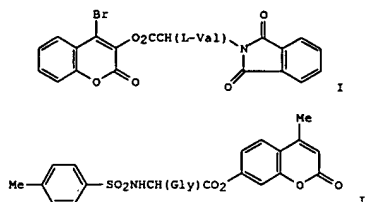
Absolute stereochemistry.



L4 ANSWER 29 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 29 OF 38 CA COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 120:54420 CA  
 TITLE: Studies on the structure-activity relationship of some new hydroxy coumarin derivatives  
 AUTHOR(S): Ibrahim, Tarek M.; El-Gazzar, Mohamed A.; El-Naggar, Ahmed M.; Sheded, Said A.  
 CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Nasr, Egypt  
 SOURCE: Proceedings of the Indian National Science Academy, Part A: Physical Sciences (1993), 59(2), 189-95  
 CODEN: PIPSD; ISSN: 0370-0046  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI

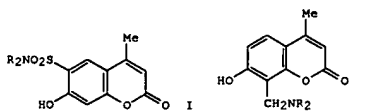


AB Synthesis of phthalimido- or tosylamino coumarin derivs., e.g., I, II, and N-(7-hydroxy-4-Me coumarin-6-sulfonyl)amino acids are described. Seven of these compds possess specific antimicrobial activities.

IT 152061-82-0P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation and bactericidal activity of)  
 RN 152061-82-0 CA  
 CN L-Proline, 1-[(7-hydroxy-4-methyl-2-oxo-2H-1-benzopyran-6-yl)sulfonyl]- (9CI) (CA INDEX NAME)

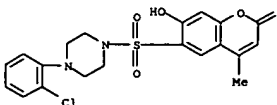
Absolute stereochemistry.

L4 ANSWER 30 OF 38 CA COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 117:69794 CA  
 TITLE: Synthesis of novel piperazinylicoumarins as possible antiallergic agents  
 AUTHOR(S): Badran, M. M.; Soliman, L. N.; El-Gendy, A. A.; El-Assi, H. R.  
 CORPORATE SOURCE: Fac. Pharm., Cairo Univ., Egypt  
 SOURCE: Bulletin of the Faculty of Pharmacy (Cairo University) (1990), 28(2), 43-5  
 CODEN: BFPHAB; ISSN: 0575-1373  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 117:69794  
 GI



AB Piperazinylicoumarins I were synthesized by chlorosulfonylation of 7-hydroxy-4-methylcoumarin followed by reaction of the so-obtained chlorosulfonylcoumarin with 1-substituted piperazines. II were obtained by application of Mannich reaction conditions on the intermediate using formalin and 1-substituted piperazines. Compds. II were tested for antiallergic activity.

IT 142529-51-9P  
 RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)  
 RN 142529-51-9 CA  
 CN Piperazine, 1-(2-chlorophenyl)-4-[(7-hydroxy-4-methyl-2-oxo-2H-1-benzopyran-6-yl)sulfonyl]- (9CI) (CA INDEX NAME)





10/758,581

L4 ANSWER 31 OF 38 CA COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 115:239757 CA  
TITLE: Hydantoin derivatives for use as hypoglycemic and/or  
hypolipidemic agents  
INVENTOR(S): Mochida, Ei; Murakami, Kimihiro; Kato, Kazuo; Kato,  
Katsuaki; Okuda, Jun; Miwa, Ichitomo  
PATENT ASSIGNEE(S): Mochida Pharmaceutical Co., Ltd., Japan  
SOURCE: Eur. Pat. Appl., 31 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 444546	A1	19910904	EP 1991-102632	19910222
EP 444546	B1	19960911		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 03294270	A2	19911225	JP 1990-43420	19900223
CA 2036902	AA	19910824	CA 1991-2036902	19910222
AU 9171313	A1	19910829	AU 1991-71313	19910222
AU 633694	B2	19930204		
WO 9112803	A1	19910905	WO 1991-JP226	19910222
W: KR				
AT 142493	E	19960915	AT 1991-102632	19910222
US 5202339	A	19930413	US 1991-660562	19910225
PRIORITY APPL. INFO.:			JP 1990-43420	A 19900223
			JP 1987-214549	A 19870828
			JP 1989-43422	A 19890225
			US 1989-426021	A3 19891024

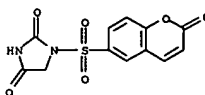
OTHER SOURCE(S): MARPAT 115:239757  
AB Pharmaceutical compns. containing hydantoin derivs. are useful for the  
treatment and prevention of diabetes mellitus with or without  
hyperlipidemia. Streptozotocin-induced diabetic rats were orally given  
1-(benzo(b)furan-2-sulfonyl)hydantoin (I) 100 mg/kg. Serum glucose level  
6 h after administration of I was decreased by 52.1 % as compared to 11.0  
for gliclazide. Oral formulations and suppositories containing the  
hydantoin  
derivs. are given.  
IT 123089-54-3  
RL: BIOL (Biological study)  
(hypoglycemic and hypolipidemic agent)  
RN 123089-54-3 CA  
CN 2,4-Imidazolidinedione, 1-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]- (9CI)  
(CA INDEX NAME)

L4 ANSWER 32 OF 38 CA COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 114:6501 CA  
TITLE: Preparation of heterocyclisulfonylhydantoins as  
aldose reductase inhibitors  
INVENTOR(S): Mochida, Ei; Murakami, Kimihiro; Kato, Kazuo; Kato,  
Katsuaki; Okuda, Jun; Miwa, Ichitomo  
PATENT ASSIGNEE(S): Mochida Pharmaceutical Co., Ltd., Japan  
SOURCE: Eur. Pat. Appl., 72 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

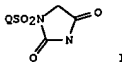
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 355827	A2	19900228	EP 1989-115635	19890824
EP 355827	A3	19900321		
EP 355827	B1	19970102		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 4914099	A	19900403	US 1988-235557	19880824
WO 9002126	A1	19900308	WO 1989-JP851	19890822
W: AU, DK, FI, NO				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
AU 8940647	A1	19900323	AU 1989-40647	19890822
AU 623676	B2	19920521		
CA 1338866	A1	19970121	CA 1989-609100	19890823
JP 04128266	A2	19920428	JP 1989-217697	19890824
JP 06015539	B4	19940302		
AT 147073	E	19970115	AT 1989-115635	19890824
ES 2098222	T3	19970501	ES 1989-115635	19890824
US 5004751	A	19910402	US 1989-426021	19891024
NO 9001789	A	19900423	NO 1990-1789	19900423
NO 176478	B	19950102		
NO 176478	C	19950412		
DK 9001001	A	19900614	DK 1990-1001	19900423
US 5232936	A	19930803	US 1991-644632	19910123
US 5202339	A	19930413	US 1991-660562	19910225
AU 9221225	A1	19921015	AU 1992-21225	19920821
AU 646967	B2	19940310		
US 35279	E	19960618	US 1994-197705	19940217
PRIORITY APPL. INFO.:			US 1988-235557	A 19880824
			JP 1989-43422	A 19890225
			JP 1987-214549	A 19870828
			WO 1989-JP851	A 19890822
			US 1989-426021	A3 19891024
			JP 1990-43420	A 19900223
			US 1991-644632	A5 19910123

OTHER SOURCE(S): CASREACT 114:6501; MARPAT 114:6501  
GI

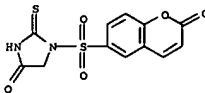
L4 ANSWER 31 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 32 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)



AB Title compds. I (Q = (un)substituted mono- or fused heterocyclyl) salts  
or solvates were prepared I are useful for treatment and/or prevention of  
various forms of diabetic complications based on the accumulation of  
polyol metabolites. Intermediates for preparing I are also given.  
Pharmaceutical formulations comprising I are given. To a suspension of  
IC1 in HCl were added 1-(benzo(b)thien-2-ylsulfonyl)-2-thiohydantoin  
(preparation given) and CH2Cl2 to give I (Q = benzo(b)thien-2-yl). I (Q  
= 3-bromo-4,6-dichlorobenzo(b)furan-2-yl) also prepared was tested on  
bovine  
lens aldose reductase; the IC50 was 0.054 μmol/L vs. sorbinyl whose  
IC50 was 0.6 μmol/L.  
IT 123090-93-7P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and reaction of, in preparation of aldose reductase  
inhibitors)  
RN 123090-93-7 CA  
CN 4-Imidazolidinone, 1-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]-2-thioxo-  
(9CI) (CA INDEX NAME)

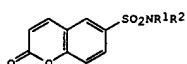


L4 ANSWER 33 OF 38 CA COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 111:232812 CA  
 TITLE: Preparation, testing, and formulation of 1-(arylsulfonyl)hydantoin as aldose reductase inhibitors  
 INVENTOR(S): Mochida, Ei; Kato, Kazuo; Kato, Katsuki; Miwa, Ichitomo; Okuda, Jun  
 PATENT ASSIGNEE(S): Mochida Pharmaceutical Co., Ltd., Japan  
 SOURCE: Eur. Pat. Appl., 47 pp.  
 CODEN: EPXDXW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

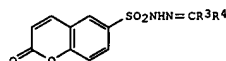
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 305947	A1	19890308	EP 1988-114050	19880829
EP 305947	B1	19920729		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 01061465	A2	19890308	JP 1987-214549	19870828
JP 2764262	B2	19900611		
WO 8901934	A1	19890309	WO 1988-JP843	19880825
W: DK, FI, NO				
AU 8821577	A1	19890302	AU 1988-21577	19880826
AU 609180	B2	19910426		
CA 1312083	A1	19921229	CA 1988-575759	19880826
AT 78815	E	19920815	AT 1988-114050	19880829
ES 2042666	T3	19931216	ES 1988-114050	19880829
FI 8901933	A	19890424	FI 1989-1933	19890424
FI 97134	B	19960715		
FI 97134	C	19961025		
NO 8901689	A	19890424	NO 1989-1689	19890424
NO 173059	B	19930712		
NO 173059	C	19931020		
DK 8902073	A	19890428	DK 1989-2073	19890428
US 5004751	A	19910402	US 1989-426021	19891024
US 5202339	A	19930413	US 1991-660562	19910225
US 52579	E	19960618	US 1994-197705	19940217
PRIORITY APPLN. INFO:			JP 1987-214549	A 19870828
			US 1988-235557	A2 19880824
			WO 1988-JP843	A 19880825
			EP 1988-114050	A 19880829
			JP 1989-43422	A 19890225
			US 1989-426021	A3 19891024
			JP 1990-43420	A 19900223
			US 1991-644632	A5 19910123

OTHER SOURCE(S): MARPAT 111:232812

L4 ANSWER 34 OF 38 CA COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 110:57464 CA  
 TITLE: The chemistry of sulfonylcoumarin derivatives  
 AUTHOR(S): Cremllyn, Richard J.; Clowes, Sally M.  
 CORPORATE SOURCE: Div. Chem. Sci., Hatfield Polytech., Hatfield/Hertfordshire, AL10 9AB, UK  
 SOURCE: Journal of the Chemical Society of Pakistan (1988), 10(1), 97-104  
 CODEN: JCSPDF; ISSN: 0253-5106  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 110:57464  
 GI

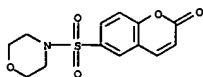


I



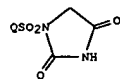
II

AB 6-(Chlorosulfonyl)coumarin was amidated to give amides I (R1 = H, alkyl; R2 = H, alkyl, PhCH2, tolyl; or NR1R2 = morpholino). Similarly, hydrazones II (R3 = Me, H; R4 = Me, Ph, ClC6H4, O2NC6H4; or R3R4 = (CH2)4) were prepared from the sulfonyl chloride via the resp. hydrazide. Some I and II showed fungicidal activity.  
 IT 84015-83-89  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (Preparation of)  
 RN 84015-83-8 CA  
 CN Morpholine, 4-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]- (9CI) (CA INDEX NAME)



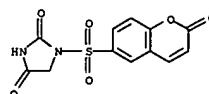
III

L4 ANSWER 33 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)  
 GI

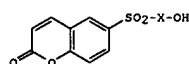


I

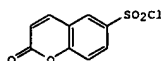
AB The title compds [I; Q = C1-8 alkyl, C3-6 cycloalkyl, biphenyl, (substituted) heterocyclyl, 2-naphthalenyl], useful as aldose reductase inhibitors, were prepared K2CO3, glycine, and 1-chloronaphthalen-2-ylsulfonyl chloride in H2O were refluxed for 30 min in H2O to give N-(1-chloronaphthalen-2-yl)sulfonyl glycine. The latter was heated with pyridine, NH4SCN, and Ac2O for 15 min at 100° to give 1-(1-chloronaphthalen-2-ylsulfonyl)-2-thiohydantoin, which was heated with 50% HNO3 at 100° for 40 min to give 1-(1-chloronaphthalen-2-ylsulfonyl)hydantoin. I inhibited rat lens aldose reductase with IC50's of 0.038-0.66 μmol/L.  
 IT 123089-54-3P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (Preparation of, as aldose reductase inhibitor)  
 RN 123089-54-3 CA  
 CN 2,4-Imidazolidinedione, 1-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]- (9CI) (CA INDEX NAME)



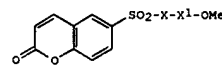
L4 ANSWER 35 OF 38 CA COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 108:167952 CA  
 TITLE: Synthesis and antimicrobial activity of some new N-coumarin-6-sulfonyl amino acid and dipeptide derivatives  
 AUTHOR(S): El-Naggar, A. M.; Abd El-Salam, A. M.; Ibrahim, T. M.  
 CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Cairo, Egypt  
 SOURCE: Afinidad (1987), 44(411), 431-3  
 CODEN: AFINAE; ISSN: 0001-9704  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 108:167952  
 GI



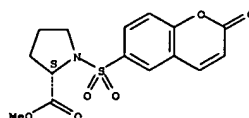
I



II



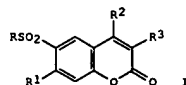
AB Title amino acids I [X = β-Ala, Val, DL-Val, Leu, p-NHC6H4CO (p-Aba), m-NHC6H4CO (m-Aba), Tyr, etc.] were prepared by sulfonylating the appropriate amino acid with sulfonyl chloride II. I were esterified with MeOH via SOCl2 to give the corresponding Me esters. Dipeptides III (X-X1 = β-Ala-DL-Ser, β-Ala-Leu, Pro-Phe, Phe-Val, etc.) were prepared by coupling the appropriate I with H-X1-OMe.HCl by DCC in THF containing Et3N.  
 I (X = β-Ala, p-Aba, m-Aba) and the Me esters of I (X = Leu, Pro) were active against a number of microorganisms.  
 IT 113789-65-4P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (Preparation and antimicrobial activity of)  
 RN 113789-65-4 CA  
 CN L-Proline, 1-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)  
 Absolute stereochemistry.



L4 ANSWER 35 OF 38 CA COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 36 OF 38 CA COPYRIGHT 2005 ACS on STN

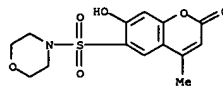
ACCESSION NUMBER: 108:55829 CA  
 TITLE: Some reactions with coumarins sulfonyl chloride and their antibacterial activities  
 AUTHOR(S): Aly, F. M.; Bedair, A. H.; El-Assy, R. K. M.  
 CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Cairo, Egypt  
 SOURCE: Oriental Journal of Chemistry (1987), 3(1), 76-82  
 CODEN: OJCHEG; ISSN: 0970-020X  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



AB Condensation of coumarinsulfonyl chlorides I (R = Cl, R1 = R2 = H, R3 = SO2Cl; R = Cl, R1 = OH, R2 = Me, R3 = H, II) with hydrazine gave the corresponding sulfonylhydrazides I (R = NHNH2, R1 = R2 = R3 = H; R = NHNH2, R1 = OH, R2 = Me, R3 = H). Condensation of the sulfonylhydrazides with benzaldehydes R4C6H4CHO (R4 = 2-NO2, 3-NO2, 4-NO2, H, 2-OH) gave the corresponding hydrazones. Condensation of II with amines R5NH2 (R5 = Ph, R6C6H4, 1-ClO7, cyclohexyl, EtCHMe, R6 = 2-Me, 3-Me, 4-Me, 3-OH, 4-OMe) gave the corresponding sulfonamides and condensation with 3- and 4-(H2N)2C6H4 gave the corresponding disulfonamides. The bactericidal activity of the newly prepared compds. was discussed.

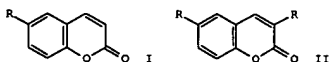
IT 112097-01-59  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation and bactericidal activity of)

RN 112097-01-5 CA  
 CN Morpholine, 4-[(7-hydroxy-4-methyl-2-oxo-2H-1-benzopyran-6-yl)sulfonyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 37 OF 38 CA COPYRIGHT 2005 ACS on STN

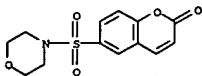
ACCESSION NUMBER: 105:208723 CA  
 TITLE: Synthesis of coumarin sulfonamides, sulfonates, and related compounds  
 AUTHOR(S): El-Maghraby, A. A.; Aly, F. M.; Bedair, A. H.; Emam, H. A.  
 CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Nasr, Egypt  
 SOURCE: Egyptian Journal of Chemistry (1985), Volume Date 1984, 27(4), 459-69  
 CODEN: EGJCA3; ISSN: 0367-0422  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



AB Condensation of coumarin-6-sulfonyl chloride I (R = SO2Cl) with H2NCH2CH2NH2 gave sulfonamide I (R = SO2NH(CH2)2NH2), which reacted with aromatic amines to give the corresponding Schiff bases I (R = SO2NH(CH2)2N:CHR1 (R1 = Ph, substituted Ph)). Various coumarin-3,6-disulfonamides II (R = SO2NHR1 (R1 = Ph, substituted Ph)), diarylsulfonates II (R = SO3R1 (R1 = substituted Ph)), and coumarin-6-sulfonamides I (R = SO2NHR1 (R1 = Bu, CH2Ph, 2-furyl, piperidyl)) were prepared starting from coumarin-3,6-disulfonyl chloride (II, R = SO2Cl).

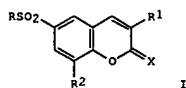
IT 84015-83-8P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 84015-83-8 CA  
 CN Morpholine, 4-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 38 OF 38 CA COPYRIGHT 2005 ACS on STN

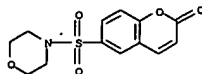
ACCESSION NUMBER: 98:34463 CA  
 TITLE: Synthesis and biological activity of coumarin sulfonamides and related compounds  
 AUTHOR(S): Islam, A. M.; Bedair, A. H.; El-Maghraby, A. A.; Aly, F. M.; Emam, H. A.  
 CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Nasr, Egypt  
 SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1982), 21B(5), 487-9  
 CODEN: IJSBDB; ISSN: 0376-4699  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 98:34463  
 GI



AB The coumarins I (R = BuNH, PhCH2NH, 2-furylmethylamino, (un)substituted anilino, (un)substituted phenoxy; R1 = R2 = H; X = O) were prepared by treating 6-coumarinylsulfonyl chloride with RH. Some I (R1 = R2 = H) were converted to I (R1 = R2 = Br, R1 = H, R2 = NO2, R = R1 = H, X = S, NOH). Some I had bactericidal activity.

IT 84015-83-8P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 84015-83-8 CA  
 CN Morpholine, 4-[(2-oxo-2H-1-benzopyran-6-yl)sulfonyl]- (9CI) (CA INDEX NAME)



10/758,581

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FILE 'REGISTRY' ENTERED AT 15:23:32 ON 08 SEP 2005

L1 STRUCTURE UPLOADED

L2 44 S L1 SAM

L3 655 S L1 FULL

FILE 'CA' ENTERED AT 15:24:20 ON 08 SEP 2005

L4 38 S L3

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---Logging off of STN---

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Executing the logoff script...

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STN INTERNATIONAL LOGOFF AT 15:25:04 ON 08 SEP 2005